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* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 JAN 08 CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 3 JAN 16 CA/CAPLUS Company Name Thesaurus enhanced and reloaded
NEWS 4 JAN 16 IPC version 2007.01 thesaurus available on STN
NEWS 5 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6 JAN 22 CA/CAPLUS updated with revised CAS roles
NEWS 7 JAN 22 CA/CAPLUS enhanced with patent applications from India
NEWS 8 JAN 29 PHAR reloaded with new search and display fields
NEWS 9 JAN 29 CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 10 FEB 15 PATDPASPC enhanced with Drug Approval numbers
NEWS 11 FEB 15 RUSSIAPAT enhanced with pre-1994 records
NEWS 12 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13 FEB 26 MEDLINE reloaded with enhancements
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field
NEWS 15 FEB 26 TOXCENTER enhanced with reloaded MEDLINE
NEWS 16 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19 MAR 16 CASREACT coverage extended
NEWS 20 MAR 20 MARPAT now updated daily
NEWS 21 MAR 22 LWPI reloaded
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 23 MAR 30 INPADOCDB will replace INPADOC on STN
NEWS 24 APR 02 JICST-EPLUS removed from database clusters and STN

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

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Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 16:17:20 ON 29 APR 2007

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=> file reg
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 16:17:47 ON 29 APR 2007
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STRUCTURE FILE UPDATES: 27 APR 2007 HIGHEST RN 933069-51-3
DICTIONARY FILE UPDATES: 27 APR 2007 HIGHEST RN 933069-51-3

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10.521193.R1.Fishman.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 16:18:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 37 TO ITERATE

100.0% PROCESSED	37 ITERATIONS	0 ANSWERS
SEARCH TIME: 00.00.01		

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 376 TO 1104
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 16:18:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 622 TO ITERATE

100.0% PROCESSED	622 ITERATIONS	35 ANSWERS
SEARCH TIME: 00.00.01		

L3

35 SEA SSS FUL L1

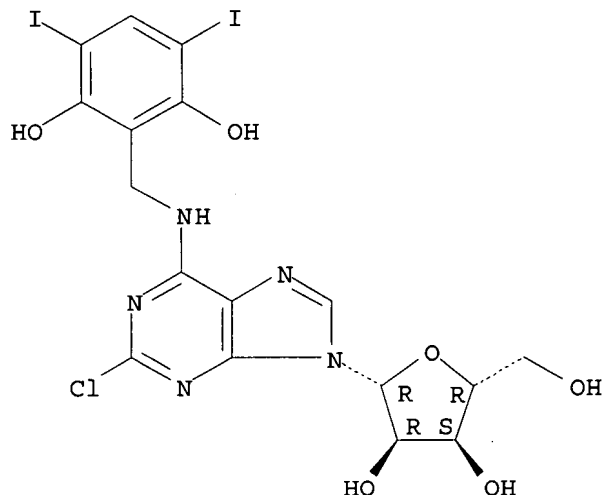
=> d scan

L3 35 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Adenosine, 2-chloro-N-[(2,6-dihydroxy-3,5-diiodophenyl)methyl] - (9CI)

MF C17 H16 Cl I2 N5 O6

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

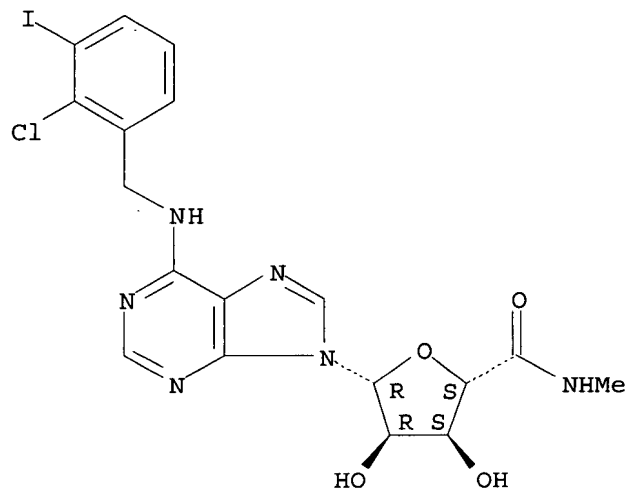
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L3 35 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN β -D-Ribofuranuronamide, 1-[6-[[[(2-chloro-3-iodophenyl)methyl]amino]-9H-purin-9-yl]-1-deoxy-N-methyl- (9CI)

MF C18 H18 Cl I N6 O4

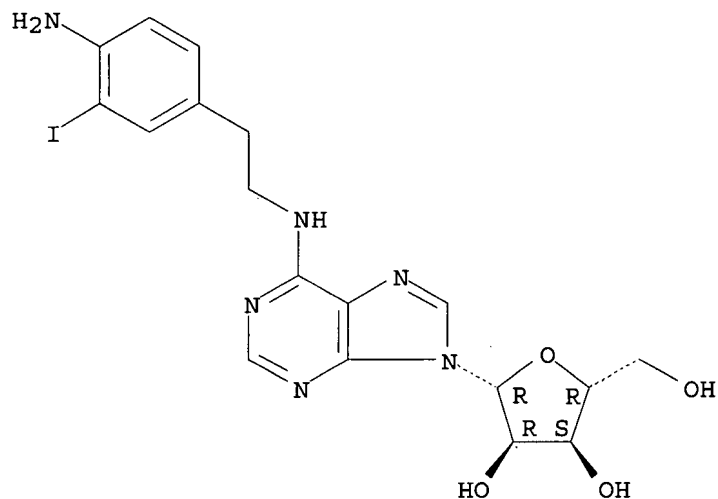
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 35 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Adenosine, N-[2-(4-amino-3-iodophenyl)ethyl]- (9CI)
MF C18 H21 I N6 O4

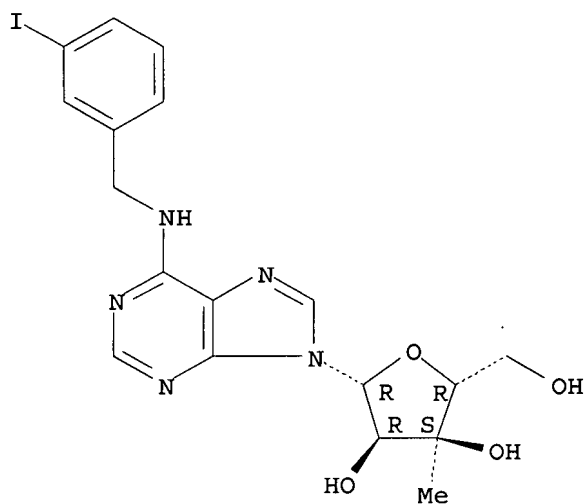
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 35 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Adenosine, 3'-C-methyl-N-[(3-iodophenyl)methyl]- (9CI)
MF C18 H20 I N5 O4

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

173.00

173.21

FILE 'CAPLUS' ENTERED AT 16:19:27 ON 29 APR 2007

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FILE COVERS 1907 - 29 Apr 2007 VOL 146 ISS 19

FILE LAST UPDATED: 27 Apr 2007 (20070427/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

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=> d his

(FILE 'HOME' ENTERED AT 16:17:20 ON 29 APR 2007)

FILE 'REGISTRY' ENTERED AT 16:17:47 ON 29 APR 2007

L1 STRUCTURE UPLOADED

L2 0 S L1 SSS SAM

L3 35 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 16:19:27 ON 29 APR 2007

=> s l3

L4 312 L3

=> s l4 and multiple sclerosis

425932 MULTIPLE

27103 SCLEROSIS

16693 MULTIPLE SCLEROSIS

(MULTIPLE(W) SCLEROSIS)

L5 5 L4 AND MULTIPLE SCLEROSIS

=> d l5 ed ibib abs hitstr 1-5

L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ED Entered STN: 15 Jul 2005

ACCESSION NUMBER: 2005:612088 CAPLUS

DOCUMENT NUMBER: 143:109816

TITLE: Method for treatment of multiple sclerosis

INVENTOR(S): Fishman, Pnina; Bar Yehuda, Sara; Madi, Lea

PATENT ASSIGNEE(S): Can-Fite Biopharma Ltd., Israel

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063246	A1	20050714	WO 2004-IL1160	20041223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1699459	A1	20060913	EP 2004-806691	20041223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1901915	A	20070124	CN 2004-80039334	20041223
US 2006142237	A1	20060629	US 2005-521193	20050113
PRIORITY APPLN. INFO.:			US 2003-532712P	P 20031229
			WO 2004-IL1160	W 20041223

OTHER SOURCE(S): MARPAT 143:109816

AB Use of an A3 adenosine receptor agonist in the preparation of a pharmaceutical composition for the treatment of an individual suffering from multiple sclerosis. The composition is preferably orally administered. Also disclosed is a pharmaceutical composition for the treatment of multiple sclerosis that comprises an effective amount of an A3 adenosine receptor agonist and a pharmaceutically acceptable carrier.

IT 89705-21-5 152918-18-8, IB-MECA 152918-27-9,

AB-MECA 163042-96-4

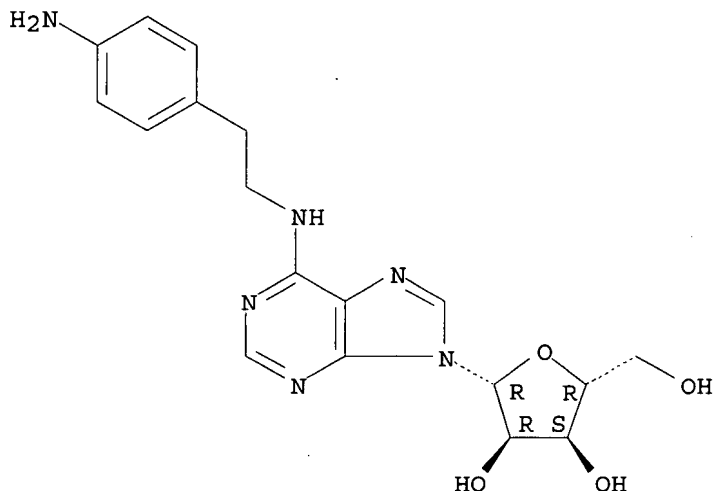
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method for treatment of multiple sclerosis)

RN 89705-21-5 CAPLUS

CN Adenosine, N-[2-(4-aminophenyl)ethyl]- (9CI) . (CA INDEX NAME)

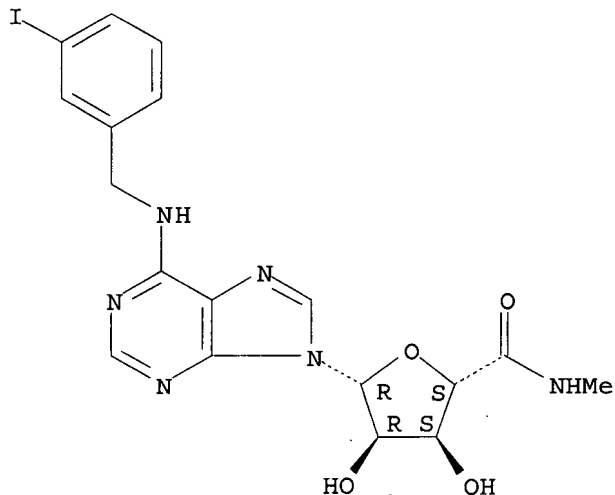
Absolute stereochemistry.



RN 152918-18-8 CAPLUS

CN β -D-Ribofuranuronamide, 1-deoxy-1-[6-[[[3-iodophenyl)methyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

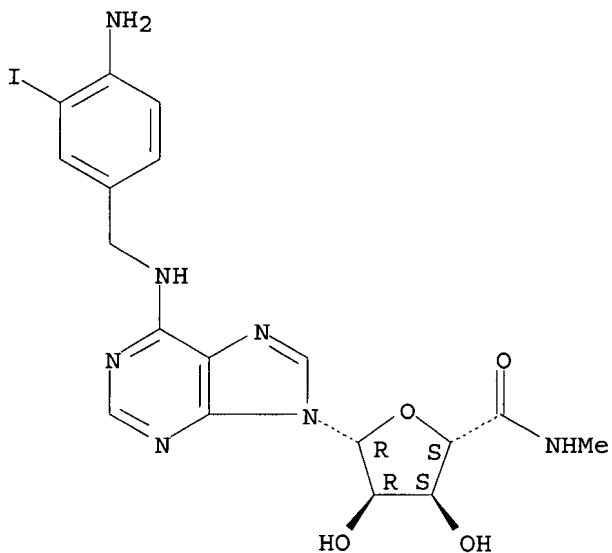
Absolute stereochemistry.



RN 152918-27-9 CAPLUS

CN β -D-Ribofuranuronamide, 1-[6-[[[4-amino-3-iodophenyl)methyl]amino]-9H-purin-9-yl]-1-deoxy-N-methyl- (9CI) (CA INDEX NAME)

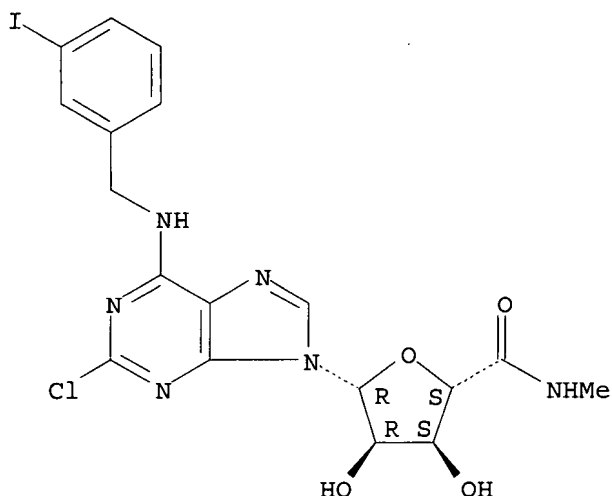
Absolute stereochemistry.



RN 163042-96-4 CAPLUS

CN β -D-Ribofuranuronamide, 1-[2-chloro-6-[[[3-iodophenyl)methyl]amino]-9H-purin-9-yl]-1-deoxy-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ED Entered STN: 15 Jul 2004

ACCESSION NUMBER: 2004:566634 CAPLUS

DOCUMENT NUMBER: 141:123865

TITLE: Substitution derivatives of N6-benzyl-adenosine, methods of their preparation, their use for preparation of drugs, cosmetic preparations and growth regulators, pharmaceutical preparations, cosmetic preparations and growth regulators containing these compounds

INVENTOR(S): Dolezal, Karel; Popa, Igor; Zatloukal, Marek; Lenobel, Rene; Hradecka, Dana; Vojtesek, Borivoj; Uldrijan, Stjepan; Mlejnek, Petr; Werbrouck, Stefaan; Strnad, Miroslav

PATENT ASSIGNEE(S): Ustav Experimentalni Botaniky Akademie Ved Ceske Republiky, Czech Rep.; et al.

SOURCE: PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

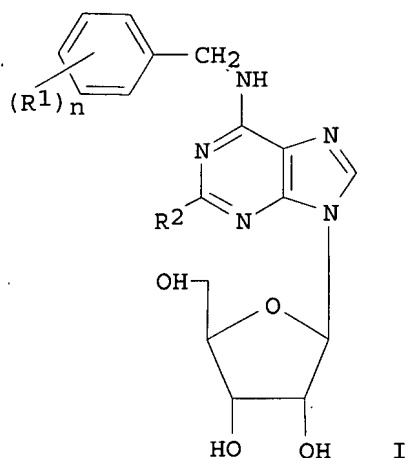
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058791	A2	20040715	WO 2003-CZ78	20031229
WO 2004058791	A3	20041028		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CZ 294538	B6	20050112	CZ 2002-4273	20021230
AU 2003294608	A1	20040722	AU 2003-294608	20031229
EP 1575973	A2	20050921	EP 2003-785482	20031229
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 US 2006166925 A1 20060727 US 2005-540993 20050815
 PRIORITY APPLN. INFO.: CZ 2002-4273 A 20021230
 WO 2003-CZ78 W 20031229
 OTHER SOURCE(S): MARPAT 141:123865
 GI



AB The invention concerns novel substitution derivs. of N6-benzyl-adenosine I, wherein n is 2-6; R1 is H, OH, halogen, alkoxy, amino, hydrazo, mercapto, methylmercapto, carboxyl, cyano, nitro, amido, sulfo, sulfamido, acylamino, acyloxy, alkylamino, dialkylamino, alkylmercapto, carbylalkoxy, cycloalkyl, carbamoyl alkyl; R2 is H, OH, halogen, alkoxy, amino, hydrazo, mercapto, methylmercapto, carboxyl, cyano, nitro, amido, sulfo, sulfamido, acylamino, acyloxy, alkylamino, dialkylamino, alkylmercapto, cabylalkoxy, cycloalkyl, carbamoyl, having anticancer, mitotic, immunosuppressive and anti-senescent properties for plant, animal and human cells. This invention also relates to the methods of preparation of these N6-benzyl-adenosine derivs. and their use as drugs, cosmetic preps. and growth regulators comprising these derivs. as active compound and use of these derivs. for preparation of pharmaceutical compns., in biotechnol. processes, in cosmetics and in agriculture. Use of title compds. as mitotic or antimitotic compound, especially for treating cancer, psoriasis, rheumatoid arthritis, lupus, type I diabetes, multiple sclerosis, restenosis, polycystic kidney disease, graft rejection, graft vs. host disease and gout, parasitoses such as those caused by fungi or protists, or Alzheimer's disease, or as anti-neurogenerative drugs, or to suppress immunostimulation or for the treatment of proliferative skin diseases. Thus, 2-amino-6-(2-methoxybenzylamino)purine riboside was prepared as growth regulator, and antitumor agent.

IT 163152-30-5P 163152-31-6P 722506-34-5P
 722506-36-7P 722506-58-3P 722506-62-9P
 722506-74-3P 722522-41-0P 722522-76-1P
 722526-05-8P 722526-80-9P

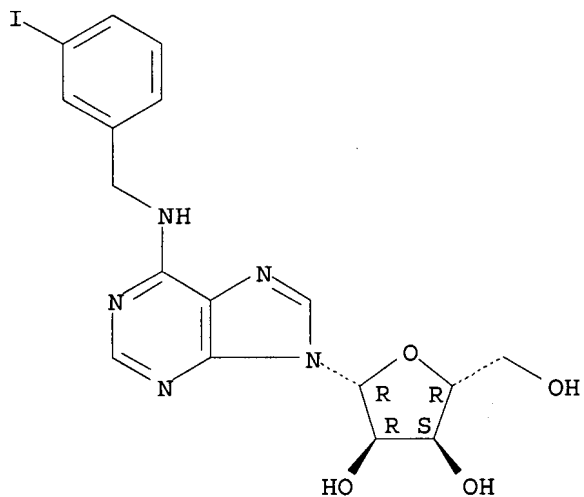
RL: AGR (Agricultural use); BSU (Biological study, unclassified); COS (Cosmetic use); IMF (Industrial manufacture); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N6-benzyladenosine nucleosides as antitumor, mitotic, immunosuppressive prodrugs, cosmetic agents, and growth regulators)

RN 163152-30-5 CAPLUS

CN Adenosine, N-[(3-iodophenyl)methyl]- (9CI) (CA INDEX NAME)

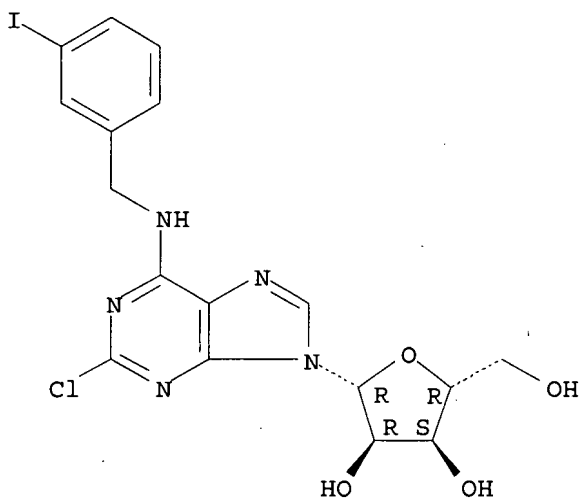
Absolute stereochemistry.



RN 163152-31-6 CAPLUS

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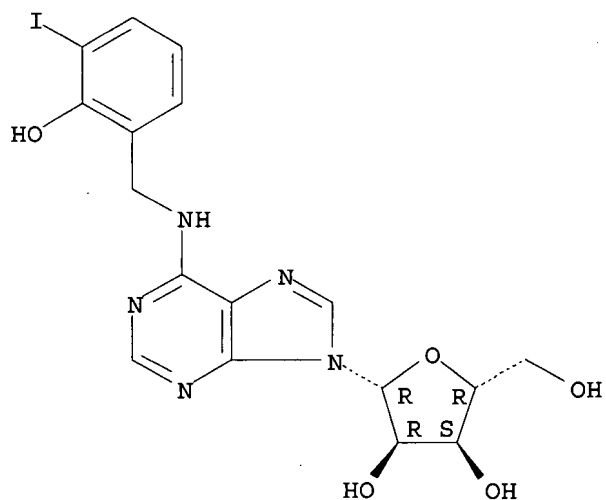
Absolute stereochemistry.



RN 722506-34-5 CAPLUS

CN Adenosine, N-[(2-hydroxy-3-iodophenyl)methyl]- (9CI) (CA INDEX NAME)

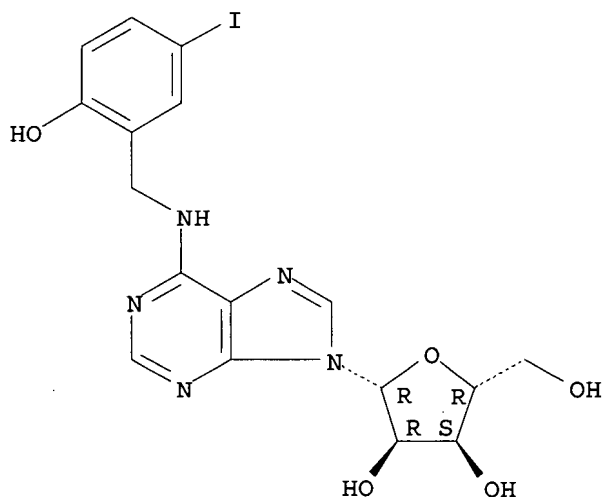
Absolute stereochemistry.



RN 722506-36-7 CAPLUS

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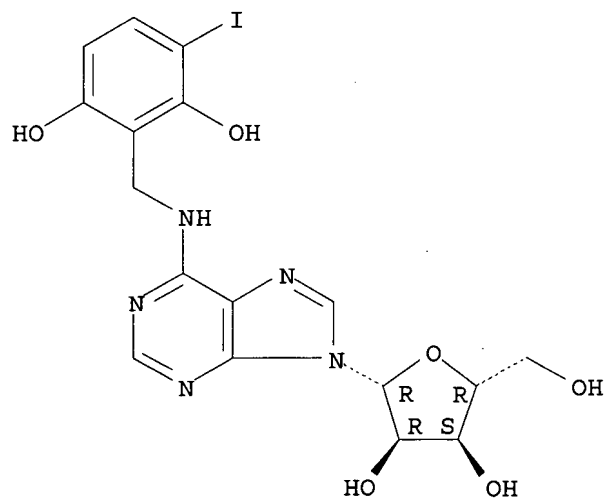
Absolute stereochemistry.



RN 722506-58-3 CAPLUS

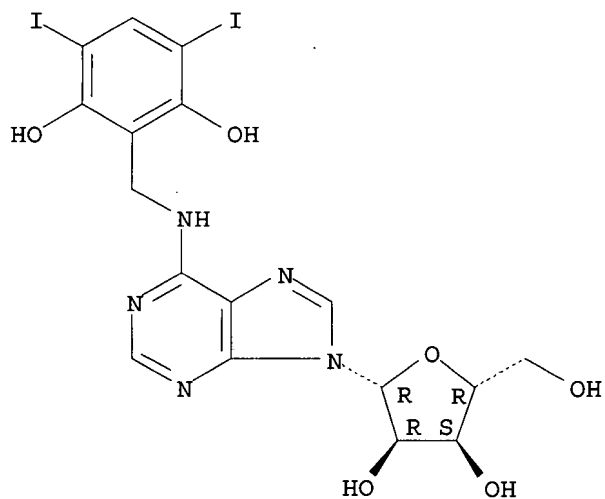
CN Adenosine, N-[(2,6-dihydroxy-3-iodophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



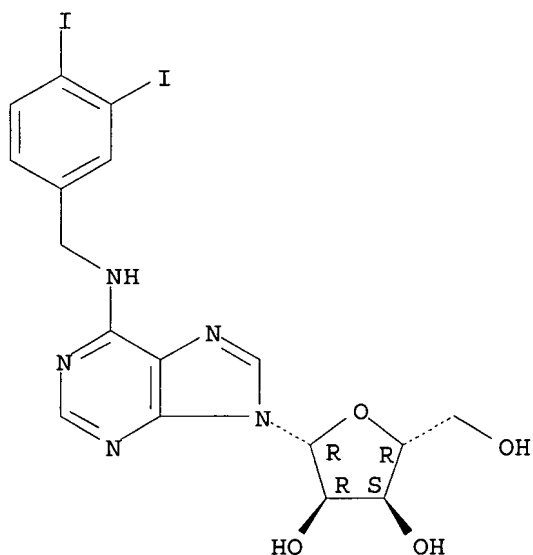
RN 722506-62-9 CAPLUS
 CN Adenosine, N-[(2,6-dihydroxy-3,5-diiodophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



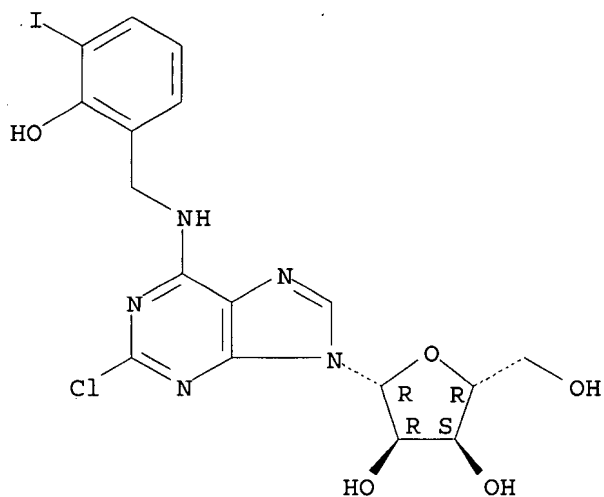
RN 722506-74-3 CAPLUS
 CN Adenosine, N-[(3,4-diiodophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



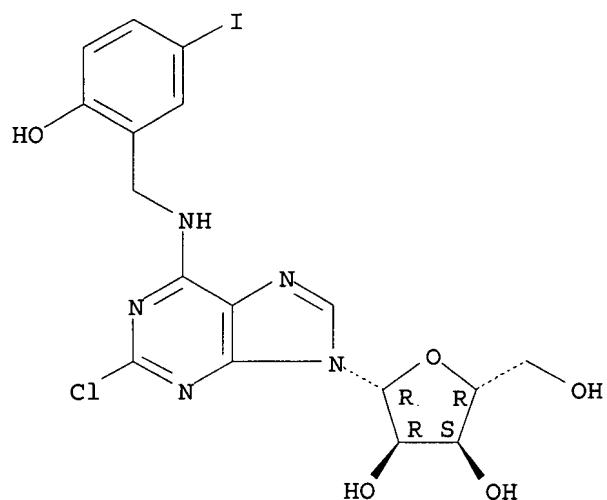
RN 722522-41-0 CAPLUS
 CN Adenosine, 2-chloro-N-[(2-hydroxy-3-iodophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



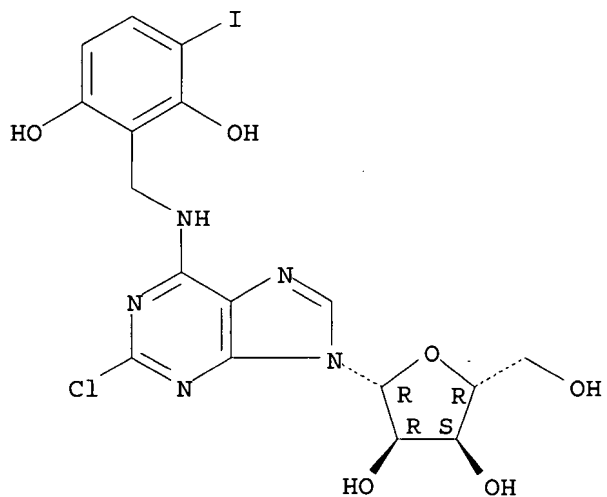
RN 722522-76-1 CAPLUS
 CN Adenosine, 2-chloro-N-[(2-hydroxy-5-iodophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



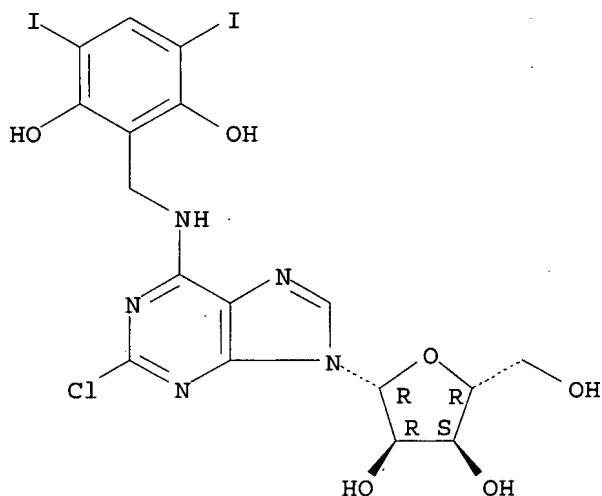
RN 722526-05-8 CAPLUS
 CN Adenosine, 2-chloro-N-[(2,6-dihydroxy-3-iodophenyl)methyl]- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



RN 722526-80-9 CAPLUS
 CN Adenosine, 2-chloro-N-[(2,6-dihydroxy-3,5-diiodophenyl)methyl]- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ED Entered STN: 17 Oct 2001

ACCESSION NUMBER: 2001:757814 CAPLUS

DOCUMENT NUMBER: 135:298819

TITLE: Meta-substituted acidic 8-phenylxanthine antagonists of A3 human adenosine receptors, and their therapeutic use

INVENTOR(S): Linden, Joel M.

PATENT ASSIGNEE(S): University of Virginia, USA; University of Virginia Patent Foundation

SOURCE: U.S., 16 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6303619	B1	20011016	US 1998-38991	19980312
PRIORITY APPLN. INFO.:			US 1998-38991	19980312

OTHER SOURCE(S): MARPAT 135:298819

AB The invention concerns the use of a xanthine or xanthine derivative having a meta-substituted acidic aryl at the 8-position to specifically modulate the physiol. role of adenosine activation of its various receptors.

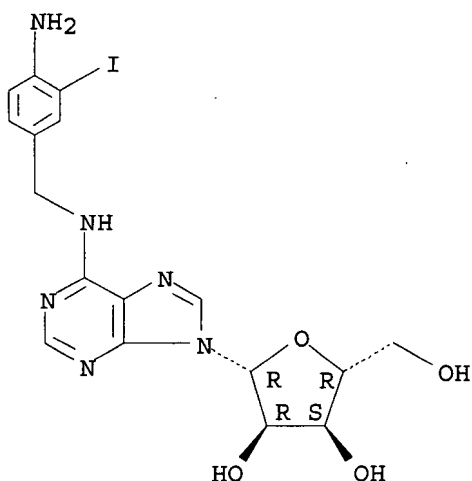
IT 98866-49-0 105834-00-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study).
(xanthine aryl derivative antagonists of adenosine A3 receptor, and therapeutic use)

RN 98866-49-0 CAPLUS

CN Adenosine, N-[(4-amino-3-iodophenyl)methyl]- (9CI) (CA INDEX NAME)

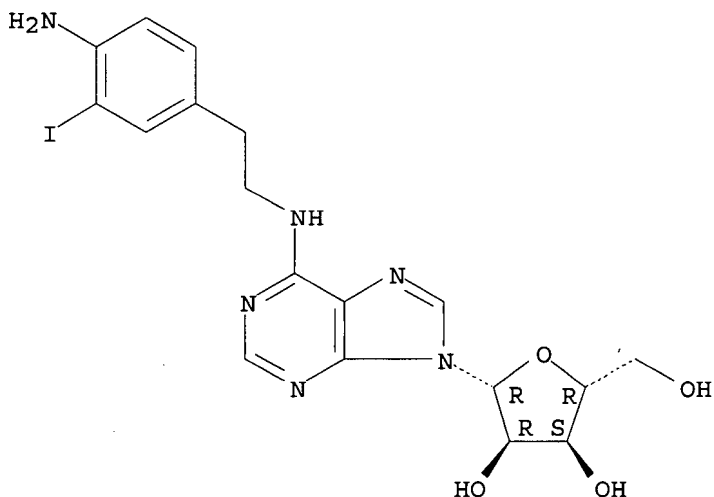
Absolute stereochemistry.



RN 105834-00-2 CAPLUS

CN Adenosine, N-[2-(4-amino-3-iodophenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

65

THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ED Entered STN: 31 Aug 1999

ACCESSION NUMBER: 1999:549143 CAPLUS

DOCUMENT NUMBER: 131:165336

TITLE: Xanthine derivative antagonists of A2b human adenosine receptors, and therapeutic use thereof

INVENTOR(S): Linden, Joel M.

PATENT ASSIGNEE(S): University of Virginia, USA

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

WO 9942093 A2 19990826 WO 1999-US4009 19990224
 WO 9942093 A3 19991028
 W: AU, CA, JP, US
 RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
 PT, SE

US 6117878 A 20000912 US 1998-27649 19980224
 AU 9928759 A 19990906 AU 1999-28759 19990224

PRIORITY APPLN. INFO.: US 1998-27649 A 19980224
 WO 1999-US4009 W 19990224

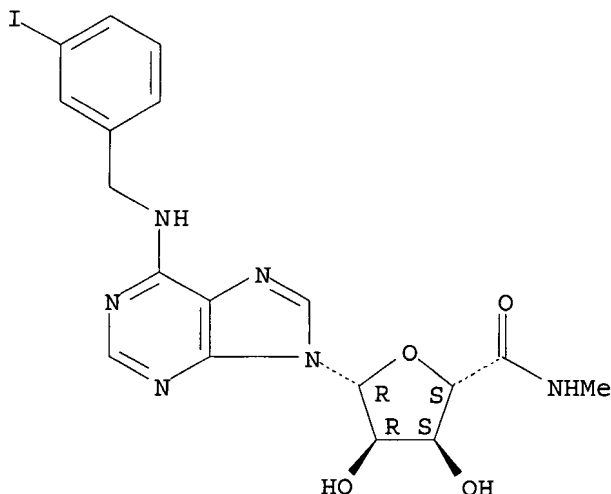
AB 8-Phenylxanthines, 8-cycloalkylxanthines or 8-substituted xanthine derivs. are used to specifically modulate the physiol. role of the A2B adenosine receptor. A compound of the invention is e.g. enprofylline. The compds. of the invention are useful for e.g. blockage of inflammatory response and prevention of mast cell degranulation and can be used for the treatment of e.g. myocardial ischemia, asthma, or reperfusion injury.

IT 152918-18-8, IB-MECA
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (xanthine derivative antagonists of A2b human adenosine receptors, and therapeutic use)

RN 152918-18-8 CAPLUS

CN β -D-Ribofuranuronamide, 1-deoxy-1-[6-[[[(3-iodophenyl)methyl]amino]-9H-purin-9-yl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ED Entered STN: 29 Jul 1995

ACCESSION NUMBER: 1995:708692 CAPLUS

DOCUMENT NUMBER: 123:208767

TITLE: Human adenosine receptor antagonists

INVENTOR(S): Doyle, Michael P.; Jacobson, Marlene A.; Duling, Brian R.; Johnson, Robert G.; Linden, Joel M.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA; University of Virginia Patents Foundation

SOURCE: PCT Int. Appl., 108 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9511681 A1 19950504 WO 1994-US12272 19941026

W: CA, JP, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.:

US 1993-145437 A 19931029

OTHER SOURCE(S):

MARPAT 123:208767

AB Compds. are identified through the use of recombinant human adenosine receptors A1, A2a, A2b, and A3, which specifically modulate the physiol. role of adenosine activation of its various receptors. In particular, a method is describing for achieving specific blockage of the A3 subtype of the adenosine receptor, and xanthines and xanthine derivs are described which display potent and specific A3-subtype specificity. Thus, full-length cDNAs were isolated and sequenced encoding the A1, A2a, A2b, and A3 receptors; these cDNAs were used in constructs for cloning expression in COS, CHO, and HEK 293 cells. The human A3 adenosine receptor cDNA encodes for a protein of 318 amino acids and exhibits 72 and 85% overall identity with the rat and sheep A3 adenosine receptor sequences, resp. Specific and saturable binding of the receptor agonist 125I-N6-aminobenzyladenosine was measured on the human A3 receptor stably expressed in CHO cells with a KD of 10 nM. The potency order or adenosine receptor agonists was determined to be N-ethylcarboxamidoadenosine ≥ R-phenylisopropyladenosine > N6-cyclopentyladenosine > S-phenylisopropyladenosine. The human receptor was blocked by xanthine antagonists; a partial listing of the pharmacol. is that the potency order of antagonists is I-ABOPX > 1,3-dipropyl-8-(4-acrylate) phenylxanthine (BW-A1433) ≥ xanthine amino congener (XAC) >> 1,3-dipropyl-8-0cyclopentylxanthine. Antagonist potencies determined by Schild analyses correlated well with those established by competition for radioligand binding. The tissue distribution of transcripts for all of the human adenosine receptor subtypes was compared. Compds. identified as antagonists are useful in preventing mast cell degranulation and are therefore useful in the treatment or prevention of disease states induced by activation of the A3 receptor and mast cell activation. These disease states include asthma, myocardial reperfusion injury, and allergic reactions including rhinitis, poison ivy-induced responses, urticaria, scleroderma, arthritis, other autoimmune diseases, and inflammatory bowel diseases.

IT 89705-21-5 98866-49-0

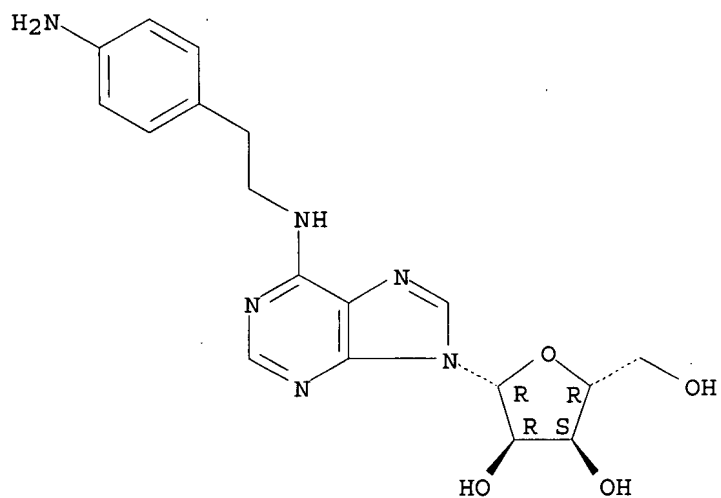
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(human adenosine receptor antagonists)

RN 89705-21-5 CAPLUS

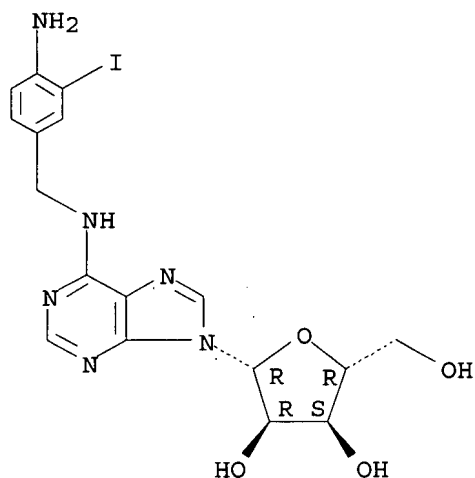
CN .Adenosine, N-[2-(4-aminophenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 98866-49-0 CAPLUS
 CN Adenosine, N-[(4-amino-3-iodophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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(FILE 'HOME' ENTERED AT 16:17:20 ON 29 APR 2007)

FILE 'REGISTRY' ENTERED AT 16:17:47 ON 29 APR 2007

L1 STRUCTURE UPLOADED

L2 0 S L1 SSS SAM

L3 35 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 16:19:27 ON 29 APR 2007

L4 312 S L3

L5 5 S L4 AND MULTIPLE SCLEROSIS

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